

# Use of new and known sulphonyl guanazine cpds.

Patent Number: DE4445968

Publication  
date:

1996-06-27

Inventor(s):

MUELLER KLAUS-HELMUT DR (DE); RIEBEL HANS-JOCHEM DR (DE); STRAUB ALEXANDER DR (DE); FEST CHRISTA DR (DE); KIRSTEN ROLF DR (DE); SCHMIDT DELF DR (DE); GESING ERNST-RUDOLF DR (DE); KLUTH JOACHIM DR (DE)

Applicant(s):

BAYER AG (DE)

Requested  
Patent:

☐ DE4445968

Application

Number:

DE19944445968 19941222

Priority Number

(s):

DE19944445968 19941222

IPC

C07D239/42; C07D239/46; C07D403/12; C07D409/12; C07D409/14; A61K31/505;

Classification:

C12N9/10; C07D521/00

EC

Classification:

A61K31/505F20L, C07D521/00S, A61K31/506

Equivalents:

## Abstract

The use of sulphonyl guanazine cpds. of formula (I), and their salts and tautomers, is claimed for the prepn. of pharmaceuticals for treating metabolic disorders. A, D = H, 1-3C alkyl or 1-3C alkoxy; R1, R2 = 6-10C aryl, benzyl or Het (all opt. ring-substd. by upto 5 of halo, OCF3, CF3, OCHF2, phenyl, 1-7C alkoxy, 1-7C alkylthio, 1-7C alkoxy-carbonyl, OH, COOH, 1-8C alkyl (opt. substd. by carboxy or 1-5C alkoxy-carbonyl), opt. halo-substd. benzoyl, CONR4R5, NR6R7, SO2R8 or SO2NR9R10) or 1-15C alkyl; R3 = H or SO2R11; R4-R7 = H, 1-4C acyl, phenyl, or 1-5C alkyl (opt. substd. by phenyl (opt. substd. by CF3 or halo)); R8, R11 = 1-6C alkyl, benzyl or 6-10C aryl (opt. substd. by up to 5 of OH, phenyl, halo or 1-3C alkyl); R9, R10 = 1-6C alkyl or 1-6C alkoxy; and Het = 5 or 6 membered heterocycle contg. N, O and/or S, opt. benzo-fused. Over 70 cpds. (I) are new. They have formula (I) with the following substituents. (1) A, D = Me; R3 = H; R1 = a gp. of formula (g); and R2 = (2-bromophenyl)methyl; (2) A, D = Me; R3 = H; R1 = a gp. of formula (h); and R2 = 2-bromophenyl or 2-chlorophenyl; (3) A, D = Me; R3 = H; R1 = a gp. of formula (a); R2 = pentafluoro phenyl, 2-substd. phenyl (where the substituents are Br or OCF3), 3-chlorophenyl, naphth-2-yl, 4-substd.-phenyl (where the substituents are Me, Br, t-Bu, Cl, phenyl or I), n-propyl, (CH2)11CH3, 2,4,5-trichlorophenyl, 4-chlorobenzyl, 7-quinoliny, 2,3-dimethylphenyl, 4-chloro-2-trifluoromethyl-phenyl, 3,4-dimethylphenyl, or a gp. of formula (a1)-(a4); (4) A, D = OMe, R3 = H, R1 = a gp. of formula (b); and R2 = 2-substd. phenyl (where the substituents are OMe, Cl, F, Br, CF3 or SO2NMe2); (5) A, D = OMe, R1 = (a); R3 = H; R2 = 2,6-dichlorophenyl; (6) A, D = OMe; and R1 = (c1), R2 = 4-trifluoromethoxy phenyl and R3 = H; or R1 = (c2), R2 = trifluoromethoxy phenyl and R3 = (c3). (7) A = D = Me or OMe, R1 = a gp. of formula (d); R3 = H; R2 = (a); (8) A, D = Me, R1 = (b), R3 = H; R2 = 4-methyl phenyl, 2-substd. phenyl (where the substituents are OCF3, CF3, F or SO2NMe2 or 2-(methoxycarbonyl)benzyl; (9) A, D = Me, R1 = 2-fluorophenyl, R3 = H and R2 = (a5) or 2-carboxyphenyl; (10) A = OMe; D = Me, R1 = a gp. of formula (f); R2 = 4-methylphenyl and R3 = 4-methylphenylsulphonyl; (11) A, D = Me; R1 = (f), R3 = H; and R2 = 2-substd. phenyl (where the substituents are OCHF2, CF3 or OCH3); (12) A, D = OMe; R1 = gp. of formula (k), R3 = H; R2 = 2-chloro, 2-trifluoromethoxyphenyl or 2- or 3-difluoromethoxy phenyl; (13) A, D = Me; R1 = (a); and R3 = SO2R2, where R2 = n-Pr, 4-biphenyl, 4-chlorobenzyl, 4-iodophenyl, 3- or 4-chlorophenyl, 2,3-dimethylphenyl, (a2), 2- or 4-bromophenyl, pentafluorophenyl, 1- or 2-naphthyl or 4-t-butyl-phenyl; (14) A, D, R3 = H; R1 = (a), R2 = 2-bromophenyl; (15) A = Me; D = OMe; R1 = a gp. (l); R2 = 2-chlorophenyl and R3 = H; (16) A = Me; D = R3 = H; R1 = (a); and R2 = 4-methylphenyl; (17) A = D + Me; R1 = a gp. (m); R2 = 4-methylphenyl and R3 = H.

Data supplied from the esp@cenet database - I2

BEST AVAILABLE COPY